

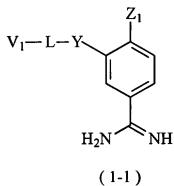
C7 Preferred benzamidine derivatives are those of general formula (1-1) wherein, when L represents an organic group of formulae (2) to (4), V_1 represents hydrogen atom, benzoyl, benzenesulfonyl, 2-naphthalenesulfonyl, cinnamoyl, piperidinecarbonyl, phenylacetyl, phenylthiocarbonyl or benzimidoyl group which may have a substituent(s) or an alkanesulfonyl group having 1 to 6 carbon group, which may have a substituent(s); when L represents an organic group of formula (5), V_1 represents an aryl group having 4 to 10 carbon atoms, which may have a substituent(s);

IN THE CLAIMS

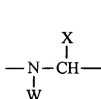
Please amend the claims as follows:

28. (New) A composition comprising:

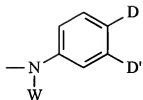
a) one or more benzamidine compounds of the following formula (1-1) or a pharmaceutically acceptable salt thereof:



wherein L represents an organic group of any of the following formulae (2) to (5):



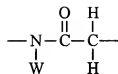
(2)



(3)



(4)



(5)

wherein W in formulae (2), (3) and (5) represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms, an aryl group having 4 to 10 carbon atoms or an aralkyl group having 5 to 12 carbon atoms, one of D and D' in formula (3) represents a bond to Y in general formula (1-1) and the other represents a hydrogen atom,

C⁹

X in formula (2) represents a hydrogen atom, carboxyl group, an alkoxycarbonyl group having 1 to 3 carbon atoms, an alkyl group having 1 to 3 carbon atoms, which optionally has a substituent(s), or a benzyl group which optionally has a substituent(s); wherein the substituent(s) is selected from the group consisting of a carboxyl group, alkoxycarbonyl groups having 2 to 8 carbon atoms, alkylsulfonyloxy groups having 1 to 6 carbon atoms, piperidylloxy group, iminoalkylpiperidylloxy groups having 6 to 10 carbon atoms, alkoxycarbonylpiperidylloxy groups having 7 to 14 carbon atoms, piperidylalkyl groups having 6 to 8 carbon atoms, iminoalkylpiperidylalkyl groups having 7 to 11 carbon atoms, alkoxycarbonylpiperidylalkyl groups having 8 to 15 carbon atoms, pyrrolidinylloxy group, iminoalkylpyrrolidinylloxy groups having 5 to 9 carbon atoms, alkoxycarbonylpyrrolidinylloxy groups having 7 to 13 carbon atoms, amidino group, mono- or dialkylamidino groups having 2 to 7 carbon atoms, hydroxyl group, halogeno groups, indolyl group and alkyl groups having 1 to 3 carbon atoms, X and W in formula (2) may be

bonded together to form a ring and, in this case, -W-X- represents an ethylene group, trimethylene group or tetramethylene group,

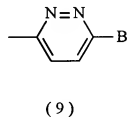
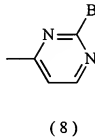
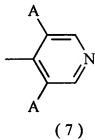
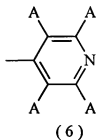
when L is an organic group of any of formulae (2) to (4), V₁ represents a hydrogen atom, benzoyl, benzenesulfonyl, 2-naphthalenesulfonyl, piperazinecarbonyl, cinnamoyl, piperidinecarbonyl, 4-methylthiazole-5-carbonyl, phenylacetyl, phenylthiocarbonyl or benzimidoyl group, which optionally has a substituent(s), or an alkanesulfonyl group having 1 to 6 carbon atoms, which optionally has a substituent(s), and when L is an organic group of formula (5), V₁ represents an aryl group having 4 to 10 carbon atoms, which optionally has a substituent(s),

C8

when L is an organic group of any of formulae (2) to (5) and V₁ has a substituent(s); wherein the substituent is selected from the group consisting of carboxyl group, alkoxycarbonyl groups having 2 to 7 carbon atoms, carbamoyl group, mono- or dialkylcarbamoyl groups having 2 to 7 carbon atoms, amidino group, mono- or dialkylamidino groups having 2 to 7 carbon atoms, acyl groups having 1 to 8 carbon atoms, halogeno groups, amino group, mono- or dialkylamino groups having 1 to 6 carbon atoms, arylamino groups having 4 to 6 carbon atoms, alkoxycarbonylamino groups having 2 to 7 carbon atoms, aminoalkyl groups having 1 to 3 carbon atoms, mono- or dialkylaminoalkyl groups having 2 to 7 carbon atoms, N-alkyl-N-alkoxycarbonylaminoalkyl groups having 4 to 10 carbon atoms, piperidyloxy group, iminoalkylpiperidyloxy groups having 6 to 10 carbon atoms, alkoxycarbonylpiperidyloxy groups having 8 to 14 carbon atoms, pyrrolidinyloxy group, iminoalkylpyrrolidinyloxy groups having 5 to 9 carbon atoms, alkoxycarbonylpyrrolidinyloxy groups having 7 to 13 carbon atoms, hydroxycarbonylalkyl groups having 2 to 7 carbon atoms, alkoxycarbonylalkyl groups having 3 to 8 carbon atoms, hydroxycarbonylalkenyl groups having 3 to 7 carbon atoms, alkoxycarbonylalkenyl groups

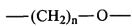
having 4 to 8 carbon atoms, aryl groups having 4 to 10 carbon atoms, arylalkenyl groups having 6 to 12 carbon atoms, alkoxyl groups having 1 to 10 carbon atoms, nitro group, trifluoromethyl group, alkyl groups having 3 to 8 carbon atoms, arylsulfonyl groups having 4 to 10 carbon atoms, arylalkyl groups having 5 to 12 carbon atoms, piperazinecarbonyl group, iminoalkylpiperazinecarbonyl groups having 7 to 10 carbon atoms, piperazinesulfonyl group, iminoalkylpiperazinesulfonyl groups having 6 to 9 carbon atoms, piperidylalkyl groups having 6 to 9 carbon atoms, iminoalkylpiperidylalkyl groups having 8 to 12 carbon atoms, piperidylidenealkyl groups having 6 to 9 carbon atoms, iminoalkylpiperidylidenealkyl groups having 8 to 12 carbon atoms, guanidino group, dialkylguanidino groups having 3 to 5 carbon atoms, phosphono group, dialkoxyphosphoryl groups having 2 to 9 carbon atoms, monoalkoxyhydroxyphosphoryl groups having 1 to 4 carbon atoms, trialkylamidino groups having 4 to 7 carbon atoms, dialkoxybenzoyl groups having 9 to 13 carbon atoms, 1-alkylpyridinio groups having 6 to 9 carbon atoms and groups of the following formulae:

C8

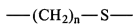


wherein A in formulae (6) and (7) represents a halogeno group, and B in formulae (8) and (9) represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms, a halogeno group or amino group,

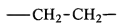
Y represents any of following formulae (10) to (16):



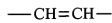
(10)



(11)



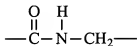
(12)



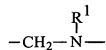
(13)



(14)



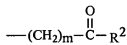
(15)



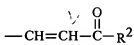
(16)

wherein n in formulae (10) and (11) represents an integer of 0 to 2, R¹ in formula (16) represents a hydrogen atom, a hydroxycarbonylalkyl group having 2 to 7 carbon atoms, an alkoxycarbonylalkyl group having 3 to 8 carbon atoms or a hydroxycarbonylalkenyl group having 3 to 7 carbon atoms,

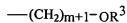
Z₁ represents a group of any of following formulae (17) to (24):



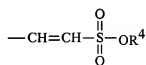
(17)



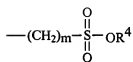
(18)



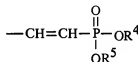
(19)



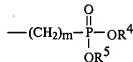
(20)



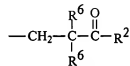
(21)



(22)



(23)



(24)

wherein m in formulae (17), (19), (21) and (23) represents an integer of 0 to 3, R² in formulae (17), (18) and (24) represents a hydroxyl group, an alkoxy group having 1 to 5 carbon atoms, trifluoromethyl group, amino group or a mono- or dialkylamino group having 1 to 6 carbon atoms, R³ in formula (19) represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms or acetyl group, R⁴ in formulae (20) to (23) represents hydrogen atom or an alkyl group having 1 to 6 carbon atoms, R⁵ in formulae (22) and (23) represents a hydrogen atom or an alkyl group having 1 to 6 carbon atoms, and R⁶ in formula (24) represents a halogeno group; and

b) a pharmaceutically acceptable carrier.

C⁹

29. (New) The composition according to claim 28, wherein, in general formula (1-1), L represents an organic group of formula (2), W represents a hydrogen atom and X represents a hydrogen atom, carboxymethyl group or ethoxycarbonylmethyl group.

30. (New) The composition according to claim 28, wherein, in general formula (1-1), Y represents an organic group of general formula (10) and n represents an integer of 1 or 2.

31. (New) The composition according to claim 28, wherein V₁ in general formula (1-1) represents 1-acetimido-4-piperidyl-oxycarbonyl group, 1-(4-pyridyl)piperidine-4-carbonyl group, 1-(2,3,5,6-tetrafluoropyridine-4-yl)piperidine-4-carbonyl group, 1-(3,5-dichloropyridine-4-yl)-piperidine-4-carbonyl group, 1-(6-chloropyridazine-3-yl)-[piperidine-4-carbonyl group, 1-(pyridazine-3-yl)piperidine-4-carbonyl group, 1-(2-chloropyrimidine-4-yl)-piperidine-4-carbonyl group, 1-(pyrimidine-4-yl)-piperidine-4-

carbonyl group, 1-(4-pyridine-4-ylmethyl)-piperidine-4-carbonyl group, 1-(4-pyridine-4-carbonyl)-piperidine-4-carbonyl group or 4-methyl-2-pyridyl-4-yl-thiazole-5-carbonyl group.

32. (New) The composition according to claim 28, wherein, Z, in general formula (1-1) represents a carboxyethyl group, ethoxycarbonylethyl group, carboxyvinyl group, ethoxycarbonylvinyl group, carbamoylethyl group, carbamoylvinyl group, carboxyl group, ethoxycarbonyl group, methoxycarbonyl group, sulfoethyl group, sulfovinyl group, phosphonovinyl group, diethoxyphosphorylvinyl group, monoethoxyhydroxyphosphorylvinyl group, sulfonoethyl group, diethoxyphosphorylethyl group, monoethoxyhydroxyphosphorylethyl group, hydroxymethyl group, hydroxypropyl group or acetoxymethyl group.

Ca

33. (New) The composition according to claim 28, wherein, in general formula (1-1), L represents an organic group of formula (2), Y represents an organic group of formula (10), V₁ represents 1-acetimido-4-piperidyl-oxycarbonyl group or 1-(4-pyridyl)-piperidine-4-carbonyl group, and Z₁ represents a carboxyethyl group, ethoxycarbonylethyl group, sulfoethyl group, hydroxymethyl group or hydroxypropyl group.

34. (New) The composition according to claim 28, wherein, in general formula (1-1), L represents an organic group of formulae (2) to (4), and Y represents an organic group of formulae (10) to (13).

35. (New) The composition according to claim 1, wherein, in general formula (1-1), when L represents an organic group of any of formulae (2) to (4), V₁ represents a hydrogen

atom, benzoyl, benzene sulfonyl, 2-naphthalenesulfonyl, cinnamoyl, piperidinecarbonyl, phenylacetyl, phenylthiocarbonyl or benzimidoyl group which optionally has a substituent(s), or an alkanesulfonyl group, having 1 to 6 carbon atoms, which optionally has a substituent(s); and when L is an organic group of formula (5), V₁ represents an aryl group, having 4 to 10 carbon atoms, which optionally has a substituent(s),

Cg when L represents an organic group of any of formulae (2) to (5), the substituents of V₁ include a carboxyl group, alkoxycarbonyl groups having 2 to 7 carbon atoms, carbamoyl group, mono- or dialkylcarbamoyl groups having 2 to 7 carbon atoms, trialkylamidino groups having 4 to 7 carbon atoms, amidino group, mono- or dialkylamidino groups having 2 to 7 carbon atoms, acyl groups having 1 to 8 carbon atoms, halogeno groups, amino group, mono- or dialkylamino groups having 1 to 6 carbon atoms, arylamino groups having 4 to 6 carbon atoms, alkoxycarbonylamino groups having 2 to 7 carbon atoms, aminoalkyl groups having 1 to 3 carbon atoms, mono- or dialkylaminoalkyl groups having 2 to 7 carbon atoms, N-alkyl-N-alkoxycarbonylaminoalkyl groups having 4 to 10 carbon atoms, piperidyloxy group, iminoalkylpiperidyloxy groups having 6 to 10 carbon atoms, alkoxycarbonylpiperidyloxy groups having 8 to 14 carbon atoms, pyrrolidinyloxy group, iminoalkylpyrrolidinyloxy groups having 5 to 9 carbon atoms, alkoxycarbonylpyrrolidinyloxy groups having 7 to 13 carbon atoms, hydroxycarbonylalkyl groups having 2 to 7 carbon atoms, alkoxycarbonylalkyl groups having 3 to 8 carbon atoms, hydroxycarbonylalkenyl groups having 3 to 7 carbon atoms, alkoxycarbonylalkenyl groups having 4 to 8 carbon atoms, aryl groups having 4 to 10 carbon atoms, arylalkenyl groups having 6 to 12 carbon atoms, alkoxyl groups having 1 to 10 carbon atoms, nitro group, trifluoromethyl group, alkyl groups having 3 to 8 carbon atoms, arylsulfonyl groups having 4 to 10 carbon atoms, arylalkyl groups having 5 to 12 carbon atoms, piperazinecarbonyl group, iminoalkylpiperazinecarbonyl groups having 7 to 10 carbon

atoms, piperazinesulfonyl group, iminoalkylpiperazinesulfonyl groups having 6 to 9 carbon atoms, piperidylalkyl groups having 6 to 9 carbon atoms, iminoalkylpiperidylalkyl groups having 8 to 12 carbon atoms, piperidylidenealkyl groups having 6 to 9 carbon atoms, iminoalkylpiperidylidenealkyl groups having 8 to 12 carbon atoms, guanidino group, dialkylguanidino groups having 3 to 5 carbon atoms, phosphono group, dialkoxylphosphoryl groups having 2 to 9 carbon atoms or mono alkoxyhydroxyphosphoryl groups having 1 to 4 carbon atoms,

Y represents any of formulae (10) to (16), n in formulae (10) and (11) represents an integer of 1 or 2, and

Z₁ represents a group of formula (17) or (18) wherein m represents an integer of 1 to 3, and R² represents hydroxyl group, an alkoxy group having 1 to 5 carbon atoms, amino group or a mono- or dialkylamino group having 1 to 6 carbon atoms.

C9

R. 1.12⁶
36

(New) The composition according to claim 34, wherein, in general formula (1-1), L represents an organic group of formula (2), W represents a hydrogen atom and X represents a hydrogen atom, carboxymethyl group or ethoxycarbonylmethyl group.

37

(New) The composition according to claim 34, wherein, in general formula (1-1),

Y represents an organic group of general formula (10) and n represents an integer of 1.

38

(New) The composition according to claim 34, wherein, V₁ in general formula (1-1) represents 1-acetimido-4-piperidyl-4-piperidylbenzoyl group or 1-(4-pyridyl)piperidine-4-carbonyl group.

39

38. (New) The composition according to claim 34, wherein, Z_1 in general formula (1-1) represents a carboxyethyl group, ethoxycarbonylethyl group, carboxyvinyl group, ethoxycarbonylvinyl group, carbamoylethyl group or carbamoylvinyl group.

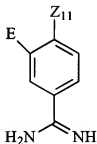
40

39. (New) The composition according to claim 34, wherein, in general formula (1-1), L represents an organic group of formula (2), Y represents an organic group of formula (10), V_1 represents 1-acetimidoyl-4-piperidylloxybenzoyl group or 1-(4-pyridyl)-piperidine-4-carbonyl group, and Z_1 represents a carboxyethyl group, ethoxycarbonylethyl group or carbamoylethyl group.

41

40. (New) A composition comprising:

a) one or more benzamidine compounds of following formula (1-2) or a pharmaceutically acceptable salt thereof:



(1-2)

wherein Z_{11} represents carboxyethyl group, ethoxycarbonylethyl group, hydroxymethyl group or hydroxypropyl group, and E represents an oil-soluble organic group; and

b) a pharmaceutically acceptable carrier.

42

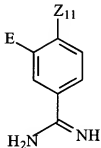
41. (New) A composition comprising:

b) a pharmaceutically acceptable carrier.

43

42. (New) A composition comprising:

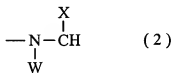
a) one or more benzamidine compounds of the formula:



wherein:

Z₁₁ is carboxyethyl, ethoxycarbonyl ethyl, hydroxymethyl or hydroxypropyl;

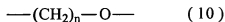
E is an oil-soluble organic group of the formula -Y-L-V₁-, wherein L is an organic group of the formula (2):



wherein W is hydrogen, C₁-C₆ alkyl, C₄-C₁₀ aryl or C₃-C₁₂ aralkyl; and X is hydrogen, carboxyl, alkoxycarbonyl having 1 to 3 carbon atoms, alkyl of 1 to 3 carbon atoms which is optionally substituted, benzyl which is optionally substituted, or X and W are bonded to

together to form a ring, wherein -W-X- is selected from the group consisting of ethylene, trimethylene and tetramethylene;

Y is an organic group of the formula (10):



wherein n is an integer of 0 to 2; and

V₁ is 1-acetamidoyl-4-piperidyloxybenzoyl or 1-(4-pyridyl) piperidine-4-carbonyl;

and

b) a pharmaceutically acceptable carrier.

C8

44

~~43~~ (New) The composition according to claim 42, wherein, in general formula (1-3),

L represents an organic group of formula (2), W represents a hydrogen atom, X represents a hydrogen atom, V₂ represents 4-(3,4-dimethoxybenzoyl)benzoyl group, 1-(1-methylpyridinium-4-yl)piperidine-4-carbonyl group or 4-(1-methyl-2-imidazoline-2-yl)benzoyl group, and Z₂ represents a hydrogen atom or 2-carboxy-2-oxoethyl group.

45

~~44~~ (New) The composition according to claim 42, wherein, in general formula (1-3), L represents an organic group of formula (2), W represents a hydrogen atom, X represents a hydrogen atom, V₂ represents 4-(1-methyl-2-imidazoline-2-yl)benzoyl group, and Z₂ represents 2-carboxy-2-oxoethyl group.
